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TITLE: Synthesis of alkylaminoalkylamides of substituted

2-aminopyrroles as potential local anesthetic and

antiarrhythmic agents. II: β -amines

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The synthesis, local anesthetic and antiarrhythmic properties, and CNS AΒ toxicity of 14 2-(3-alkylaminoalkylamido)pyrroles are described. Most of the compds. exhibited local anesthetic activity by the quinea pig wheal test, with 7 showing comparable or greater activity than lidocaine. Most compds. also exhibited antiarrhythmic activity; 3 compds. had more potent activity than lidocaine. All compds. exhibiting antiarrhythmic activity also were toxic to the CNS. However, 2 of the 3 compds. having greater activity than lidocaine possessed more desirable therapeutic indexes.

ΙT 78829-99-9P 78830-00-9P 78830-01-0P 78830-02-1P 78830-05-4P 78830-06-5P 78830-07-6P 78830-08-7P 78830-09-8P 78830-10-1P 78830-11-2P 78830-12-3P 78830-13-4P 78830-14-5P 78830-15-6P 78830-16-7P 78830-17-8P 78830-18-9P 78830-19-0P 78830-20-3P 78830-21-4P

78830-22-5P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation and anesthetic and antiarrhythmic activities of)

RN 78829-99-9 CAPLUS

CN Butanamide, 3-(butylamino)-N-(3-cyano-1,4,5-trimethyl-1H-pyrrol-2-yl)-(CA INDEX NAME)

RN 78830-00-9 CAPLUS

Butanamide, 3-(butylamino)-N-(3-cyano-1,4,5-trimethyl-1H-pyrrol-2-yl)-, CN hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 78830-01-0 CAPLUS

CN Butanamide, N-(3-cyano-1,4,5-trimethyl-1H-pyrrol-2-yl)-3-[(2-methylpropyl)amino]- (CA INDEX NAME)

RN 78830-02-1 CAPLUS

CN Butanamide, N-(3-cyano-1,4,5-trimethyl-1H-pyrrol-2-yl)-3-[(2-methylpropyl)amino]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 78830-05-4 CAPLUS

CN Butanamide, N-(3-cyano-4,5-dimethyl-1H-pyrrol-2-yl)-3-(diethylamino)- (CA INDEX NAME)

78830-06-5 CAPLUS RN

Butanamide, N-(3-cyano-4,5-dimethyl-1H-pyrrol-2-yl)-3-(diethylamino)-, CN hydrochloride (1:1) (CA INDEX NAME)

● HCl

78830-07-6 CAPLUS RN

CN Butanamide, 3-(butylamino)-N-(3-cyano-4,5-dimethyl-1H-pyrrol-2-yl)- (CA INDEX NAME)

RN 78830-08-7 CAPLUS

Butanamide, 3-(butylamino)-N-(3-cyano-4,5-dimethyl-1H-pyrrol-2-yl)-, CN hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 78830-09-8 CAPLUS

CN Propanamide, N-(3-cyano-1,4,5-trimethyl-1H-pyrrol-2-yl)-3-(diethylamino)- (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me} & \text{O} \\ \mid & \text{O} \\ \mid \mid & \text{NH-C-CH}_2\text{--CH}_2\text{--NEt}_2 \\ \\ \text{Me} & \text{CN} \end{array}$$

RN 78830-10-1 CAPLUS

CN Propanamide, N-(3-cyano-1,4,5-trimethyl-1H-pyrrol-2-yl)-3-(diethylamino)-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 78830-11-2 CAPLUS

CN Propanamide, N-(3-cyano-4,5-dimethyl-1H-pyrrol-2-yl)-3-(diethylamino)- (CA INDEX NAME)

78830-12-3 CAPLUS RN

Propanamide, N-(3-cyano-4,5-dimethyl-1H-pyrrol-2-yl)-3-(diethylamino)-, CN hydrochloride (1:1) (CA INDEX NAME)

$$\begin{array}{c|c} \mathsf{Et_2N-CH_2-CH_2-C-NH} & \mathsf{H} \\ \mathsf{NC} & \mathsf{Me} \end{array}$$

● HCl

RN 78830-13-4 CAPLUS

Propanamide, N-(3-cyano-5-ethyl-4-methyl-1H-pyrrol-2-yl)-3-(diethylamino)-CN (CA INDEX NAME)

$$\begin{array}{c|c} \mathsf{Et}_2\mathsf{N}-\mathsf{CH}_2-\mathsf{CH}_2-\mathsf{C}-\mathsf{NH} & \overset{\mathsf{H}}{\mathsf{N}} \\ \mathsf{NC} & \mathsf{Me} \end{array}$$

RN 78830-14-5 CAPLUS

Propanamide, N-(3-cyano-5-ethyl-4-methyl-1H-pyrrol-2-yl)-3-(diethylamino)-CN , hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 78830-15-6 CAPLUS

CN Propanamide, N-(3-cyano-4-methyl-5-phenyl-1H-pyrrol-2-yl)-3-(diethylamino)- (CA INDEX NAME)

RN 78830-16-7 CAPLUS

CN Propanamide, N-(3-cyano-4-methyl-5-phenyl-1H-pyrrol-2-yl)-3-(diethylamino)-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 78830-17-8 CAPLUS

CN Propanamide, N-[3-cyano-4-methyl-5-(phenylmethyl)-1H-pyrrol-2-yl]-3-(diethylamino)- (CA INDEX NAME)

$$\begin{array}{c|c} \mathsf{Et_2N-CH_2-CH_2-C-NH} & \mathsf{H} \\ \mathsf{NC} & \mathsf{Me} \end{array}$$

RN 78830-18-9 CAPLUS

CN Propanamide, N-[3-cyano-4-methyl-5-(phenylmethyl)-1H-pyrrol-2-yl]-3-(diethylamino)-, hydrochloride (1:1) (CA INDEX NAME)

$$\begin{array}{c|c} \mathsf{Et_2N-CH_2-CH_2-C-NH} & \mathsf{H} \\ \mathsf{NC} & \mathsf{Me} \end{array}$$

● HCl

RN 78830-19-0 CAPLUS

CN 1H-Pyrrole-3-carboxamide, 2-[[3-(diethylamino)-1-oxopropyl]amino]-4,5-dimethyl- (CA INDEX NAME)

Me
$$C-NH_2$$
O
NH-C-CH₂-CH₂-NEt₂

RN 78830-20-3 CAPLUS

CN 1H-Pyrrole-3-carboxamide, 2-[[3-(diethylamino)-1-oxopropyl]amino]-4,5-dimethyl-, hydrochloride (1:1) (CA INDEX NAME)

HC1

78830-21-4 CAPLUS RN

CN 1H-Pyrrole-3-carboxamide, 2-[[3-(diethylamino)-1-oxopropyl]amino]-5-ethyl-4-methyl- (CA INDEX NAME)

$$\begin{array}{c|c} \text{Et}_2\text{N-CH}_2\text{-CH}_2\text{-C-NH} & \overset{\text{H}}{\underset{\text{N}}{\text{Me}}} \\ \text{H}_2\text{N-C} & \text{Me} \\ & & \\ & & \\ & & \\ \end{array}$$

78830-22-5 CAPLUS RN

CN 1H-Pyrrole-3-carboxamide, 2-[[3-(diethylamino)-1-oxopropyl]amino]-5-ethyl-4-methyl-, hydrochloride (1:1) (CA INDEX NAME)

$$\begin{array}{c|c} \mathbf{Et_2N-CH_2-CH_2-C-NH} & \mathbf{H} \\ \mathbf{H_2N-C} & \mathbf{Me} \\ \mathbf{O} & \mathbf{O} \end{array}$$

● HCl